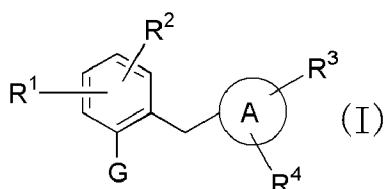


## CLAIMS

1. A phenol derivative represented by the following general formula (I):



5

wherein

R<sup>1</sup> or R<sup>2</sup> independently represents a hydrogen atom, a hydroxy group, an amino group, a halogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>1-6</sub> alkoxy group, a cyano group, a carboxy group, a C<sub>2-7</sub> alkoxy carbonyl group, a carbamoyl group, a mono or di(C<sub>1-6</sub> alkyl) amino group, a halo(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkyl) group, a cyano(C<sub>1-6</sub> alkyl) group, a carboxy(C<sub>1-6</sub> alkyl) group, a C<sub>2-7</sub> alkoxy carbonyl(C<sub>1-6</sub> alkyl) group, a carbamoyl(C<sub>1-6</sub> alkyl) group, an amino(C<sub>1-6</sub> alkyl) group, a mono or di(C<sub>1-6</sub> alkyl) amino(C<sub>1-6</sub> alkyl) group, a halo(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkoxy) group, a carboxy(C<sub>1-6</sub> alkoxy) group, a C<sub>2-7</sub> alkoxy carbonyl(C<sub>1-6</sub> alkoxy) group, a carbamoyl(C<sub>1-6</sub> alkoxy) group, an amino(C<sub>1-6</sub> alkoxy) group, a mono or di(C<sub>1-6</sub> alkyl) amino(C<sub>1-6</sub> alkoxy) group, a C<sub>3-7</sub> cycloalkyl group, C<sub>3-7</sub> cycloalkyl-O-, a C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkyl) group, or C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkoxy) group;

20

R<sup>3</sup> and R<sup>4</sup> independently represent a hydrogen atom, a hydroxy group, a halogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>2-6</sub> alkenyl group,

a C<sub>2-6</sub> alkynyl group, a C<sub>1-6</sub> alkoxy group, a C<sub>2-6</sub> alkenyloxy group,  
 a C<sub>1-6</sub> alkylthio group, a C<sub>2-6</sub> alkenylthio group, a halo(C<sub>1-6</sub>  
 alkyl) group, a halo(C<sub>1-6</sub> alkoxy) group, a halo(C<sub>1-6</sub> alkylthio)  
 group, a hydroxy(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>2-6</sub> alkenyl) group,  
 5 a hydroxy(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkylthio) group,  
 a carboxy group, a carboxy(C<sub>1-6</sub> alkyl) group, a carboxy(C<sub>2-6</sub>  
 alkenyl) group, a carboxy(C<sub>1-6</sub> alkoxy) group, a carboxy(C<sub>1-6</sub>  
 alkylthio) group, a C<sub>2-7</sub> alkoxycarbonyl group, a C<sub>2-7</sub>  
 alkoxycarbonyl(C<sub>1-6</sub> alkyl) group, a C<sub>2-7</sub> alkoxycarbonyl(C<sub>2-6</sub>  
 10 alkenyl) group, a C<sub>2-7</sub> alkoxycarbonyl(C<sub>1-6</sub> alkoxy) group, a C<sub>2-7</sub>  
 alkoxycarbonyl(C<sub>1-6</sub> alkylthio) group, a C<sub>1-6</sub> alkylsulfinyl group,  
 a C<sub>1-6</sub> alkylsulfonyl group, -U-V-W-N(R<sup>5</sup>)-Z, or any of the  
 following substituents (i) to (xxviii) which may have any 1 to  
 3 substituents selected from the later identified substituent  
 15 group  $\alpha$  on the ring;

(i) a C<sub>6-10</sub> aryl group, (ii) C<sub>6-10</sub> aryl-O-, (iii) C<sub>6-10</sub>  
 aryl-S-, (iv) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkyl) group, (v) a C<sub>6-10</sub> aryl(C<sub>1-6</sub>  
 alkoxy) group, (vi) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkylthio) group, (vii)  
 a heteroaryl group, (viii) heteroaryl-O-, (ix) heteroaryl-S-,  
 20 (x) a heteroaryl(C<sub>1-6</sub> alkyl) group, (xi) a heteroaryl(C<sub>1-6</sub>  
 alkoxy) group, (xii) a heteroaryl(C<sub>1-6</sub> alkylthio) group, (xiii)  
 a C<sub>3-7</sub> cycloalkyl group, (xiv) C<sub>3-7</sub> cycloalkyl-O-, (xv) C<sub>3-7</sub>  
 cycloalkyl-S-, (xvi) a C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkyl) group, (xvii)  
 a C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkoxy) group, (xviii) a C<sub>3-7</sub>  
 25 cycloalkyl(C<sub>1-6</sub> alkylthio) group, (xix) a heterocycloalkyl  
 group, (xx) heterocycloalkyl-O-, (xxi) heterocycloalkyl-S-,  
 (xxii) a heterocycloalkyl(C<sub>1-6</sub> alkyl) group, (xxiii) a

heterocycloalkyl(C<sub>1-6</sub> alkoxy) group, (xxiv) a  
heterocycloalkyl(C<sub>1-6</sub> alkylthio) group, (xxv) an aromatic  
cyclic amino group, (xxvi) an aromatic cyclic amino(C<sub>1-6</sub> alkyl)  
group, (xxvii) an aromatic cyclic amino(C<sub>1-6</sub> alkoxy) group or  
5 (xxviii) an aromatic cyclic amino(C<sub>1-6</sub> alkylthio) group,

U represents -O-, -S- or a single bond and with the proviso  
that at least one of V and W is not a single bond when U is -O-  
or -S-);

V represents a C<sub>1-6</sub> alkylene group which may have a hydroxy  
10 group, a C<sub>2-6</sub> alkenylene group or a single bond;

W represents -CO-, -SO<sub>2</sub>-, -C(=NH)- or a single bond;

Z represents a hydrogen atom, a C<sub>2-7</sub> alkoxycarbonyl group,  
a C<sub>6-10</sub> aryl(C<sub>2-7</sub> alkoxycarbonyl) group, a formyl group, -R<sup>A</sup>,  
-COR<sup>B</sup>, -SO<sub>2</sub>R<sup>B</sup>, -CON(R<sup>C</sup>)R<sup>D</sup>, -CSN(R<sup>C</sup>)R<sup>D</sup>, -SO<sub>2</sub>NHR<sup>A</sup> or  
15 -C(=NR<sup>E</sup>)N(R<sup>F</sup>)R<sup>G</sup>;

R<sup>5</sup>, R<sup>A</sup>, R<sup>C</sup> and R<sup>D</sup> independently represent a hydrogen atom,  
a C<sub>1-6</sub> alkyl group which may have any 1 to 5 substituents selected  
from the later identified substituent group β, or any of the  
following substituents (xxix) to (xxxii) which may have any 1  
20 to 3 substituents selected from the later identified substituent  
group α;

(xxix) a C<sub>6-10</sub> aryl group, (xxx) a heteroaryl group, (xxxi)  
a C<sub>3-7</sub> cycloalkyl group or (xxxii) a heterocycloalkyl group  
or Z and R<sup>5</sup> bind together with the neighboring nitrogen  
25 atom to form an aliphatic cyclic amino group which may have any  
1 to 3 substituents selected from the later identified  
substituent group α;

or  $R^C$  and  $R^D$  bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any 1 to 3 substituents selected from the later identified substituent group  $\alpha$ ;

5  $R^B$  represents a C<sub>2-7</sub> alkoxy carbonyl group, a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>6-10</sub> arylsulfonylamino group, a C<sub>1-6</sub> alkyl group which may have any 1 to 5 substituents selected from the later identified substituent group  $\beta$ , or any of the following substituents (xxxiii) to (xxxvi) which may have any  
10 1 to 3 substituents selected from the later identified substituent group  $\alpha$ ;

(xxxiii) a C<sub>6-10</sub> aryl group, (xxxiv) a heteroaryl group, (xxxv) a C<sub>3-7</sub> cycloalkyl group or (xxxvi) a heterocycloalkyl group,

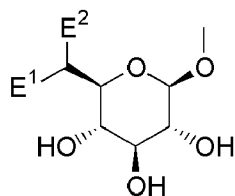
15  $R^E$ ,  $R^F$  and  $R^G$  independently represent a hydrogen atom, a cyano group, a carbamoyl group, a C<sub>2-7</sub> acyl group, a C<sub>2-7</sub> alkoxy carbonyl group, a C<sub>6-10</sub> aryl(C<sub>2-7</sub> alkoxy carbonyl) group, a nitro group, a C<sub>1-6</sub> alkylsulfonyl group, a sulfamoyl group, a carbamimidoyl group, or a C<sub>1-6</sub> alkyl group which may have any  
20 1 to 5 substituents selected from the later identified substituent group  $\beta$ ;

or  $R^E$  and  $R^F$  bind together to form an ethylene group;

or  $R^F$  and  $R^G$  bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any  
25 substituent selected from the later identified substituent group  $\alpha$ ;

ring A represents a C<sub>6-10</sub> aryl group or a heteroaryl group;

G represents a group represented by a formula:



$E^1$  represents a hydrogen atom or a fluorine atom;

$E^2$  represents a hydrogen atom, a fluorine atom or

5 a methyl group;

[substituent group  $\alpha$ ]

a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkyl group, a C<sub>1-6</sub> alkoxy group, a halo(C<sub>1-6</sub> alkyl) group, a halo(C<sub>1-6</sub> alkoxy)group, a hydroxy(C<sub>1-6</sub> alkyl) group, a C<sub>2-7</sub> alkoxycarbonyl (C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkoxy) group, an amino(C<sub>1-6</sub> alkyl) group, an amino(C<sub>1-6</sub> alkoxy) group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, a C<sub>1-6</sub> alkylsulfonyl group, a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkylsulfonylamino(C<sub>1-6</sub> alkyl) group, a carboxy group, a C<sub>2-7</sub> alkoxycarbonyl group, a sulfamoyl group and  $-\text{CON}(\text{R}^{\text{H}})\text{R}^{\text{I}}$

15 [substituent group  $\beta$ ]

a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkoxy group, a C<sub>1-6</sub> alkylthio group, a halo(C<sub>1-6</sub> alkoxy) group, a halo(C<sub>1-6</sub> alkylthio) group, a hydroxy(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkylthio) group, an amino(C<sub>1-6</sub> alkoxy) group, an amino(C<sub>1-6</sub> alkylthio) group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, an ureido group, a sulfamide group, a mono or di(C<sub>1-6</sub> alkyl)ureido group, a mono

or di[hydroxy(C<sub>1-6</sub> alkyl)]ureido group, a mono or di(C<sub>1-6</sub> alkyl)sulfamide group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]-sulfamide group, a C<sub>2-7</sub> acylamino group, an amino(C<sub>2-7</sub> acylamino) group, a C<sub>1-6</sub> alkylsulfonyl group, a C<sub>1-6</sub> alkylsulfonylamino group, a carbamoyl(C<sub>1-6</sub> alkylsulfonylamino) group, a carboxy group, a C<sub>2-7</sub> alkoxy carbonyl group, -CON(R<sup>H</sup>)R<sup>I</sup>, and any of the following substituents (xxxvii) to (xxxxviii) which may have any 1 to 3 substituents selected from the above substituent group  $\alpha$  on the ring;

- (xxxvii) a C<sub>6-10</sub> aryl group, (xxxviii) C<sub>6-10</sub> aryl-O-, (xxxix) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkoxy) group, (xxxx) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkylthio) group, (xxxxi) a heteroaryl group, (xxxxii) heteroaryl-O-, (xxxxiii) a C<sub>3-7</sub> cycloalkyl group, (xxxxiv) C<sub>3-7</sub> cycloalkyl-O-, (xxxxv) a heterocycloalkyl group, (xxxxvi) heterocycloalkyl-O-, (xxxxvii) an aliphatic cyclic amino group or (xxxxviii) an aromatic cyclic amino group,

R<sup>H</sup> and R<sup>I</sup> independently represent a hydrogen atom or a C<sub>1-6</sub> alkyl group which may have any 1 to 3 substituents selected from the following substituent group  $\gamma$ ;

- or both of R<sup>H</sup> and R<sup>I</sup> bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any 1 to 3 substituents selected from the following substituent group  $\delta$

[substituent group  $\gamma$ ]

- a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkoxy group, a halo(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkoxy) group, an amino(C<sub>1-6</sub> alkoxy) group, a mono or di(C<sub>1-6</sub> alkyl)amino

- group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, an ureido group, a sulfamide group, a mono or di(C<sub>1-6</sub> alkyl)ureido group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]ureido group, a mono or di(C<sub>1-6</sub> alkyl)sulfamide group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]-
- 5 sulfamide group, a C<sub>2-7</sub> acylamino group, an amino(C<sub>2-7</sub> acylamino) group, a C<sub>1-6</sub> alkylsulfonyl group, a C<sub>1-6</sub> alkylsulfonylamino group, a carbamoyl(C<sub>1-6</sub> alkylsulfonylamino) group, a carboxy group, a C<sub>2-7</sub> alkoxy carbonyl group and  $-\text{CON}(\text{R}^{\text{J}})\text{R}^{\text{K}}$
- [substituent group  $\delta$ ]
- 10 a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkyl group, a C<sub>1-6</sub> alkoxy group, a halo(C<sub>1-6</sub> alkyl) group, a halo(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkyl) group, a C<sub>2-7</sub> alkoxy carbonyl(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkoxy) group, an amino(C<sub>1-6</sub> alkyl) group, an amino(C<sub>1-6</sub> alkoxy) group, a mono
- 15 or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, a C<sub>1-6</sub> alkylsulfonyl group, a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkylsulfonylamino(C<sub>1-6</sub> alkyl) group, a carboxy group, a C<sub>2-7</sub> alkoxy carbonyl group, a sulfamoyl group and  $-\text{CON}(\text{R}^{\text{J}})\text{R}^{\text{K}}$ ,
- 20  $\text{R}^{\text{J}}$  and  $\text{R}^{\text{K}}$  independently represent a hydrogen atom or a C<sub>1-6</sub> alkyl group which may have any 1 to 3 substituents selected from a hydroxy group, an amino group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a C<sub>2-7</sub> alkoxy carbonyl group and a carbamoyl group;
- or both of  $\text{R}^{\text{J}}$  and  $\text{R}^{\text{K}}$  bind together with the neighboring
- 25 nitrogen atom to form an aliphatic cyclic amino group which may have any 1 to 3 substituents selected from a hydroxy group, an amino group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a C<sub>1-6</sub> alkyl

group, a hydroxy(C<sub>1-6</sub> alkyl) group, a C<sub>2-7</sub> alkoxy carbonyl group, a C<sub>2-7</sub> alkoxy carbonyl (C<sub>1-6</sub> alkyl) group and a carbamoyl group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

5

2. A phenol derivative as claimed in claim 1, wherein G represents a  $\beta$ -D-glucopyranosyl group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

10 3. A phenol derivative as claimed in claim 1 or 2, wherein R<sup>3</sup> and R<sup>4</sup> independently represent a hydrogen atom, a hydroxy group, a halogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>2-6</sub> alkenyl group, a C<sub>2-6</sub> alkynyl group, a C<sub>1-6</sub> alkoxy group, a C<sub>2-6</sub> alkenyloxy group, a C<sub>1-6</sub> alkylthio group, a C<sub>2-6</sub> alkenylthio group, a halo(C<sub>1-6</sub> alkyl) group, a halo(C<sub>1-6</sub> alkoxy) group, a halo(C<sub>1-6</sub> alkylthio) group, a hydroxy(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>2-6</sub> alkenyl) group, a hydroxy(C<sub>1-6</sub> alkoxy) group or a hydroxy(C<sub>1-6</sub> alkylthio) group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

20

4. A phenol derivative as claimed in any one of claims 1 to 3, wherein the ring A represents a benzene ring or a pyridine ring, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

25

5. A pharmaceutical composition comprising as an active ingredient a phenol derivative as claimed in any one of claims



1 to 4, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

6. A human SGLT inhibitor comprising as an active ingredient  
5 a phenol derivative as claimed in any one of claims 1 to 4, or  
a pharmaceutically acceptable salt thereof, or a prodrug thereof.

7. A human SGLT inhibitor as claimed in claim 6, wherein the  
SGLT is SGLT1 and/or SGLT2.

10

8. A pharmaceutical composition as claimed in claim 5, which  
is an agent for the inhibition of postprandial hyperglycemia.

9. A pharmaceutical composition as claimed in claim 5, which  
15 is an agent for the prevention or treatment of a disease associated  
with hyperglycemia.

10. A pharmaceutical composition as claimed in claim 9, wherein  
the disease associated with hyperglycemia is a disease selected  
20 from the group consisting of diabetes, impaired glucose tolerance,  
diabetic complications, obesity, hyperinsulinemia,  
hyperlipidemia, hypercholesterolemia, hypertriglyceridemia,  
lipid metabolism disorder, atherosclerosis, hypertension,  
congestive heart failure, edema, hyperuricemia and gout.

25

11. A pharmaceutical composition as claimed in claim 5, which  
is an agent for the inhibition of advancing impaired glucose

tolerance into diabetes in a subject.

12. A pharmaceutical composition as claimed in claim 5, wherein the dosage form is sustained release formulation.

5

13. A human SGLT inhibitor as claimed in claim 6, wherein the dosage form is sustained release formulation.

14. A method for the inhibition of postprandial hyperglycemia,  
10 which comprises administering an effective amount of a phenol derivative as claimed in any one of claims 1 to 4, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

15. A method for the prevention or treatment of a disease  
15 associated with hyperglycemia, which comprises administering an effective amount of a phenol derivative as claimed in any one of claims 1 to 4, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

20 16. A method for the prevention or treatment as claimed in claim 15, wherein the disease associated with hyperglycemia is a disease selected from the group consisting of diabetes, impaired glucose tolerance, diabetic complications, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia,  
25 hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.

17. A method for the inhibition of advancing impaired glucose tolerance into diabetes in a subject, which comprises administering an effective amount of a phenol derivative as  
5 claimed in any one of claims 1 to 4, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

18. A use of a phenol derivative as claimed in any one of claims 1 to 4, or a pharmaceutically acceptable salt thereof, or a prodrug  
10 thereof for the manufacture of a pharmaceutical composition for the inhibition of postprandial hyperglycemia.

19. A use of a phenol derivative as claimed in any one of claims 1 to 4, or a pharmaceutically acceptable salt thereof, or a prodrug  
15 thereof for the manufacture of a pharmaceutical composition for the prevention or treatment of a disease associated with hyperglycemia.

20. A use as claimed in claim 19, wherein the disease associated  
20 with hyperglycemia is a disease selected from the group consisting of diabetes, impaired glucose tolerance, diabetic complications, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, congestive heart  
25 failure, edema, hyperuricemia and gout.

21. A use of a phenol derivative as claimed in any one of claims

1 to 4, or a pharmaceutically acceptable salt thereof, or a prodrug thereof for the manufacture of a pharmaceutical composition for the inhibition of advancing impaired glucose tolerance into diabetes in a subject.

5

22. A pharmaceutical composition as claimed in claim 5, which comprises combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth

factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

23. A human SGLT inhibitor as claimed in claim 6, which comprises combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion

enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B

5 inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like

10 peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript

15 factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an *N*-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine,

20 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a

25 cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxigenase inhibitor, a carnitine palmitoyl-transferase

inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

24. A method for the inhibition of postprandial hyperglycemia as claimed in claim 14, which comprises administering in combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor,

glucagon-like peptide-1, a glucagon-like peptide-1 analogue,  
 a glucagon-like peptide-1 agonist, amylin, an amylin analogue,  
 an amylin agonist, an aldose reductase inhibitor, an advanced  
 glycation endproducts formation inhibitor, a protein kinase C  
 5 inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium  
 channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid  
 peroxidase inhibitor, an *N*-acetylated- $\alpha$ -linked-acid-  
 dipeptidase inhibitor, insulin-like growth factor-I,  
 platelet-derived growth factor, a platelet-derived growth  
 10 factor analogue, epidermal growth factor, nerve growth factor,  
 a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin,  
 EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics,  
 cathartics, a hydroxymethylglutaryl coenzyme A reductase  
 inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor agonist, an  
 15 acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol,  
 a thyroid hormone receptor agonist, a cholesterol absorption  
 inhibitor, a lipase inhibitor, a microsomal triglyceride  
 transfer protein inhibitor, a lipoxygenase inhibitor, a  
 carnitine palmitoyl-transferase inhibitor, a squalene synthase  
 20 inhibitor, a low-density lipoprotein receptor enhancer, a  
 nicotinic acid derivative, a bile acid sequestrant, a sodium/bile  
 acid cotransporter inhibitor, a cholesterol ester transfer  
 protein inhibitor, an appetite suppressant, an  
 angiotensin-converting enzyme inhibitor, a neutral  
 25 endopeptidase inhibitor, an angiotensin II receptor antagonist,  
 an endothelin-converting enzyme inhibitor, an endothelin  
 receptor antagonist, a diuretic agent, a calcium antagonist,



a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary  
 5 alkalinizer.

25. A method for the prevention or treatment of a disease associated with hyperglycemia as claimed in claim 15, which comprises administering in combination with at least one member  
 10 selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a  
 15 dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase  
 20 kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid  
 25 receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an *N*-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor,

insulin-like growth factor-I, platelet-derived growth factor,  
 a platelet-derived growth factor analogue, epidermal growth  
 factor, nerve growth factor, a carnitine derivative, uridine,  
 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide,  
 5 Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl  
 coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor  
 agonist, an acyl-coenzyme A cholesterol acyltransferase  
 inhibitor, probcol, a thyroid hormone receptor agonist, a  
 cholesterol absorption inhibitor, a lipase inhibitor, a  
 10 microsomal triglyceride transfer protein inhibitor, a  
 lipoxigenase inhibitor, a carnitine palmitoyl-transferase  
 inhibitor, a squalene synthase inhibitor, a low-density  
 lipoprotein receptor enhancer, a nicotinic acid derivative, a  
 bile acid sequestrant, a sodium/bile acid cotransporter  
 15 inhibitor, a cholesterol ester transfer protein inhibitor, an  
 appetite suppressant, an angiotensin-converting enzyme  
 inhibitor, a neutral endopeptidase inhibitor, an angiotensin  
 II receptor antagonist, an endothelin-converting enzyme  
 inhibitor, an endothelin receptor antagonist, a diuretic agent,  
 20 a calcium antagonist, a vasodilating antihypertensive agent,  
 a sympathetic blocking agent, a centrally acting  
 antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an  
 antiplatelets agent, a uric acid synthesis inhibitor, a  
 uricosuric agent and a urinary alkalinizer.

25

26. A method for the inhibition of advancing impaired glucose  
 tolerance into diabetes in a subject as claimed in claim 17,

which comprises administering in combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor,

5 an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a

10 fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose

15 reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an *N*-acetylated- $\alpha$ -linked-acid-

20 dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics,

25 cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol,

a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

27. A use of (A) a phenol derivative as claimed in any one of claims 1 to 4, or a pharmaceutically acceptable salt thereof, or a prodrug thereof and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B

inhibitor, a glycogen phosphorylase inhibitor, a  
 glucose-6-phosphatase inhibitor, a fructose-bisphosphatase  
 inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic  
 gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase  
 5 kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like  
 peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin,  
 an amylin analogue, an amylin agonist, an aldose reductase  
 inhibitor, an advanced glycation endproducts formation  
 inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid  
 10 receptor antagonist, a sodium channel antagonist, a transcript  
 factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an  
 N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor,  
 insulin-like growth factor-I, platelet-derived growth factor,  
 a platelet-derived growth factor analogue, epidermal growth  
 15 factor, nerve growth factor, a carnitine derivative, uridine,  
 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide,  
 Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl  
 coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor  
 agonist, an acyl-coenzyme A cholesterol acyltransferase  
 20 inhibitor, probcol, a thyroid hormone receptor agonist, a  
 cholesterol absorption inhibitor, a lipase inhibitor, a  
 microsomal triglyceride transfer protein inhibitor, a  
 lipoxxygenase inhibitor, a carnitine palmitoyl-transferase  
 inhibitor, a squalene synthase inhibitor, a low-density  
 25 lipoprotein receptor enhancer, a nicotinic acid derivative, a  
 bile acid sequestrant, a sodium/bile acid cotransporter  
 inhibitor, a cholesterol ester transfer protein inhibitor, an

appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, 5 a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer, for the manufacture 10 of a pharmaceutical composition for the inhibition of postprandial hyperglycemia.

28. A use of (A) a phenol derivative as claimed in any one of claims 1 to 4, or a pharmaceutically acceptable salt thereof, 15 or a prodrug thereof and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase 20 stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic 25 gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin,

an amylin analogue, an amylin agonist, an aldose reductase  
 inhibitor, an advanced glycation endproducts formation  
 inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid  
 receptor antagonist, a sodium channel antagonist, a transcript  
 5 factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an  
*N*-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor,  
 insulin-like growth factor-I, platelet-derived growth factor,  
 a platelet-derived growth factor analogue, epidermal growth  
 factor, nerve growth factor, a carnitine derivative, uridine,  
 10 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide,  
 Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl  
 coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor  
 agonist, an acyl-coenzyme A cholesterol acyltransferase  
 inhibitor, probcol, a thyroid hormone receptor agonist, a  
 15 cholesterol absorption inhibitor, a lipase inhibitor, a  
 microsomal triglyceride transfer protein inhibitor, a  
 lipoxygenase inhibitor, a carnitine palmitoyl-transferase  
 inhibitor, a squalene synthase inhibitor, a low-density  
 lipoprotein receptor enhancer, a nicotinic acid derivative, a  
 20 bile acid sequestrant, a sodium/bile acid cotransporter  
 inhibitor, a cholesterol ester transfer protein inhibitor, an  
 appetite suppressant, an angiotensin-converting enzyme  
 inhibitor, a neutral endopeptidase inhibitor, an angiotensin  
 II receptor antagonist, an endothelin-converting enzyme  
 25 inhibitor, an endothelin receptor antagonist, a diuretic agent,  
 a calcium antagonist, a vasodilating antihypertensive agent,  
 a sympathetic blocking agent, a centrally acting

antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an  
 antiplatelets agent, a uric acid synthesis inhibitor, a  
 uricosuric agent and a urinary alkalinizer, for the manufacture  
 of a pharmaceutical composition for the prevention or treatment  
 5 of a disease associated with hyperglycemia.

29. A use of (A) a phenol derivative as claimed in any one  
 of claims 1 to 4, or a pharmaceutically acceptable salt thereof,  
 or a prodrug thereof and (B) at least one member selected from  
 10 the group consisting of an insulin sensitivity enhancer, a  
 glucose absorption inhibitor, a biguanide, an insulin secretion  
 enhancer, a SGLT2 inhibitor, an insulin or insulin analogue,  
 a glucagon receptor antagonist, an insulin receptor kinase  
 stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl  
 15 peptidase IV inhibitor, a protein tyrosine phosphatase-1B  
 inhibitor, a glycogen phosphorylase inhibitor, a  
 glucose-6-phosphatase inhibitor, a fructose-bisphosphatase  
 inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic  
 gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase  
 20 kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like  
 peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin,  
 an amylin analogue, an amylin agonist, an aldose reductase  
 inhibitor, an advanced glycation endproducts formation  
 inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid  
 25 receptor antagonist, a sodium channel antagonist, a transcript  
 factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an  
 N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor,



insulin-like growth factor-I, platelet-derived growth factor,  
a platelet-derived growth factor analogue, epidermal growth  
factor, nerve growth factor, a carnitine derivative, uridine,  
5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide,  
5 Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl  
coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor  
agonist, an acyl-coenzyme A cholesterol acyltransferase  
inhibitor, probcol, a thyroid hormone receptor agonist, a  
cholesterol absorption inhibitor, a lipase inhibitor, a  
10 microsomal triglyceride transfer protein inhibitor, a  
lipoxigenase inhibitor, a carnitine palmitoyl-transferase  
inhibitor, a squalene synthase inhibitor, a low-density  
lipoprotein receptor enhancer, a nicotinic acid derivative, a  
bile acid sequestrant, a sodium/bile acid cotransporter  
15 inhibitor, a cholesterol ester transfer protein inhibitor, an  
appetite suppressant, an angiotensin-converting enzyme  
inhibitor, a neutral endopeptidase inhibitor, an angiotensin  
II receptor antagonist, an endothelin-converting enzyme  
inhibitor, an endothelin receptor antagonist, a diuretic agent,  
20 a calcium antagonist, a vasodilating antihypertensive agent,  
a sympathetic blocking agent, a centrally acting  
antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an  
antiplatelets agent, a uric acid synthesis inhibitor, a  
uricosuric agent and a urinary alkalinizer, for the manufacture  
25 of a pharmaceutical composition for the inhibition of advancing  
impaired glucose tolerance into diabetes in a subject.